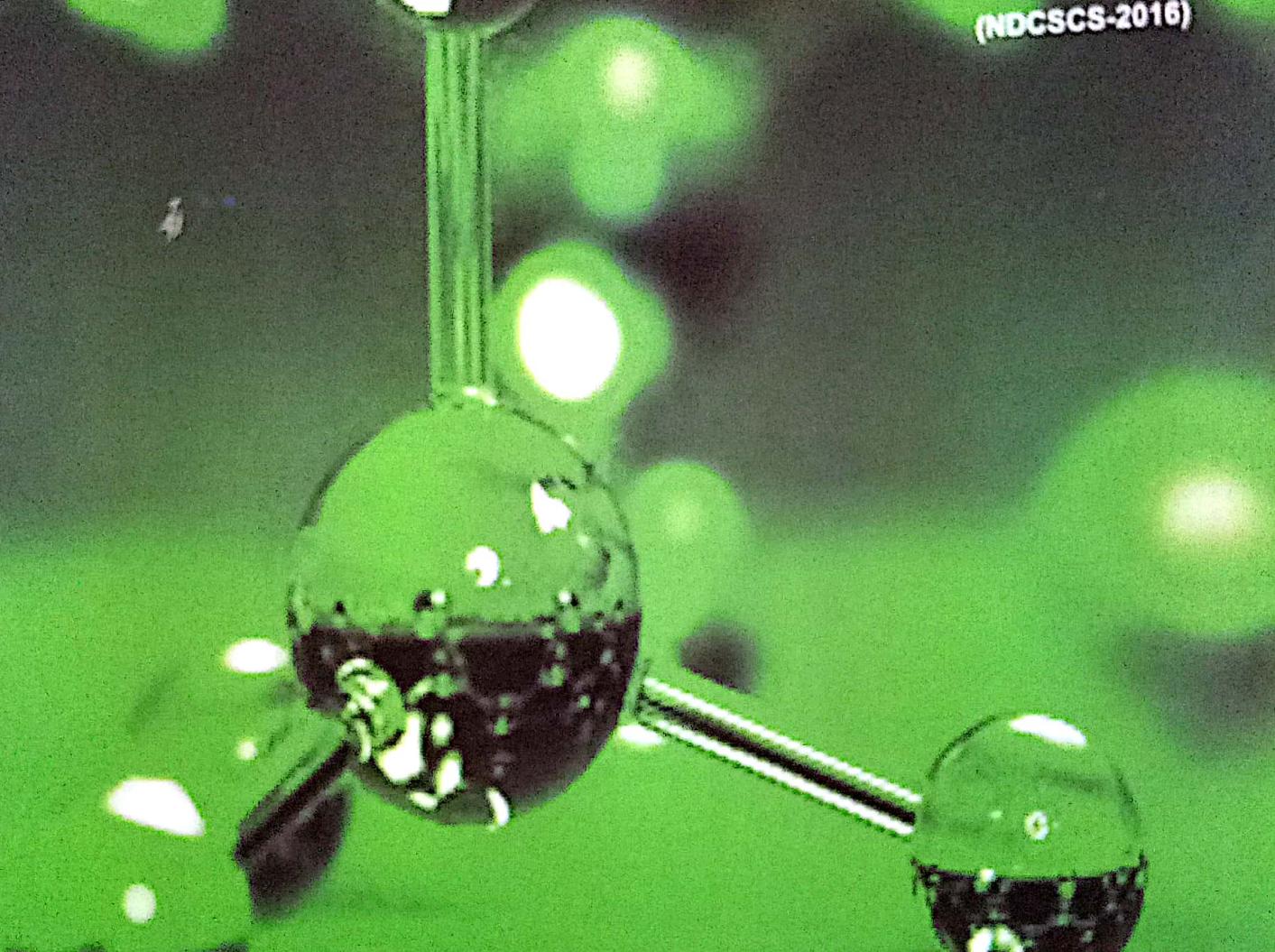


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Synthesis and Characterization of Hydrazino Benzothiazole Derivatives

FP-14

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Abstract

A literature survey indicates that benzothiazole derivatives possess anti-inflammatory and anti-bacterial activity. 6-chloro-1-mercapto benzothiazole on reflux with different hydrazine in the presence of DMF and anhydrous K_2CO_3 , gives 2-substituted hydrazino-6-chloro benzothiazole. The synthesized compounds were characterized by elemental analysis and spectral data.

Keywords: 6-chloro-1-mercapto benzothiazole, K_2CO_3 , 2-substituted hydrazino-6-chloro benzothiazole

Introduction

Benzothiazole derivatives are an important class of heterocyclic compounds that exhibit a wide range of biological properties in medicinal and agricultural chemistry [1-5]. Further industrial applications as antioxidants [6,7], vulcanization accelerators [8,9], and a role part in a light emitting organic electroluminescent devices [10] have also been reported. Many reports have appeared in the literature describing the formation of benzothiazoles via one of the two major routes. However, these methodologies suffer from one or more disadvantages such as tedious working, high temperature, prolonged reaction time, and toxic organic solvents such as DMF and DMSO.

Carrying out organic reactions in water has become

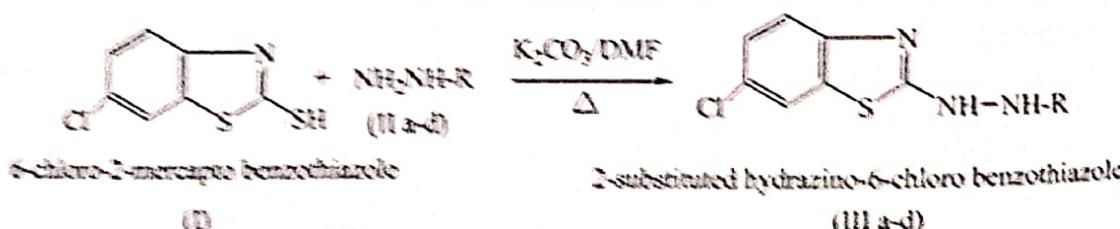
highly desirable in recent years to meet environmental considerations. The use of water as a sole medium of organic reactions would greatly contribute to the development of environmentally friendly processes. It would be even more desirable to carry out catalytic organic reactions in water, which normally require delicate reaction conditions in order for the catalyst to be stable and yet reactive. In this context, in recent years much attention has been focused on Lewis acid-catalyzed organic reactions in water, and several reactions of this type have been already identified.

Substituted benzothiazole is an important class of heterocyclic compounds that exhibits a wide range of biological properties such as inhibitors of stearoyl-coenzyme desaturase, antitumor, antimicrobial, LTD₄ receptor antagonist and the Gram-positive selective antibiotics [11-17].

Experimental Section

All melting points were determined in open capillary tube and were uncorrected. IR spectra were recorded with potassium bromide pellets technique, ¹H NMR spectra were recorded on AVANCE 300 MHz Spectrometer in DMSO using TMS as internal standard. Mass spectra were recorded on a FT VG-7070 H Mass Spectrometer using EI technique at 70 eV. All the reactions were monitored by Thin layer chromatography.

Materials and Method



Experimental

Preparation of 2-substituted hydrazino-6-chloro benzothiazole (III a-d):

6-chloro-1-mercapto benzothiazole on reflux independently with hydrazine hydrate, phenyl hydrazine/4-nitro phenyl hydrazine/2,4-dinitro phenyl hydrazine

in the presence of DMF and anhydrous K_2CO_3 , gives 2-substituted hydrazino-6-chloro benzothiazole. The synthesized compounds were characterized by elemental analysis and spectral data.

Result and Discussion :

The objectives of the present work are to synthesize

certain hydrazino benzothiazole derivatives and study their antibacterial and anti-inflammatory activity in particular. Thus an attempt has been made in this direction. As expected substituted benzothiazoles exhibited antimicrobial activity some are equipotent to that of standard employed for comparison. Therefore a detailed study of toxicity is necessary. There is no such a thing as completely safe drug. Drugs are powerful tools which alter physiological processes for the better or for the worse. A society which wishes to benefit from them will not achieve all the benefits are for the biological testing do not always turn out as potential new drugs, but may be intended to serve as models for evaluation of hypothesis.

Conclusion

In conclusion a facile one pot synthesis has been developed for the title compounds using readily available starting materials. Thus, there is a network of reaction equilibria which all finally flow into an irreversible step yielding the product. 2-substituted hydrazino-6-chloro benzothiazoles are responsible for antibacterial activity, but it is interesting to note that benzothiazole moieties when fused with other moieties showed a broad spectrum antibacterial activity. Hence in search of new generation of antibiotics it may be worthwhile to explore the possibility in this area by fusing different moieties and increase potency.

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